ACCESS DB # 193841

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 6/23/06
Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10532753(U)
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): (PAPER) DISK
****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

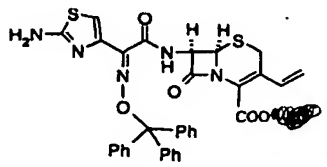
Inventors (please provide full names): _____

_____

Earliest Priority Date: _____

Search Topic:
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only ***Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.***



#1 of 2

L18

L27

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STAFF USE ONLY

Searcher: _____
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: _____
Searcher Prep & Review Time: _____
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Type of Search

_____ NA Sequence (#)
_____ AA Sequence (#)
_____ Structure (#)
_____ Bibliographic
_____ Litigation
_____ Fulltext
_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog
_____ Questel/Orbit _____ Lexis/Nexis
_____ Westlaw _____ WWW/Internet
____ In-house sequence systems
____ Commercial ____ Oligomer ____ Score/Length
____ Interference ____ SPDI ____ Encode/Transl
_____ Other (specify)

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                /BI OR 3004-42-0/BI OR 626-67-5/BI OR 64-17-5/BI OR 64-18-6/BI
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                I OR 91832-40-5/BI)

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                D

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L12           4 SEA ABB=ON  PLU=ON  L9 OR L11
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L19           0 SEA ABB=ON  PLU=ON  ?CEFDININ? AND AMORPH?

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      ANTE, APOLLIT, AQUALINE, AQUASCI, AQUIRE, BABS, BIBLIODATA, BIOENG,
      BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CAOLD, CAPLUS, CASREACT,
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                       ANSWERS '5-10' FROM FILE PCTFULL
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                    ANSWERS '11-17' FROM FILE USPATFULL
                    ANSWERS '18-19' FROM FILE INVESTEXT
               D L24 IBIB ABS KWIC 5-19

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L29            1 SEA ABB=ON  PLU=ON  L27 NOT L28
               SEL BABSAN L28

=> fil hcap
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FILE LAST UPDATED: 26 Jun 2006  (20060626/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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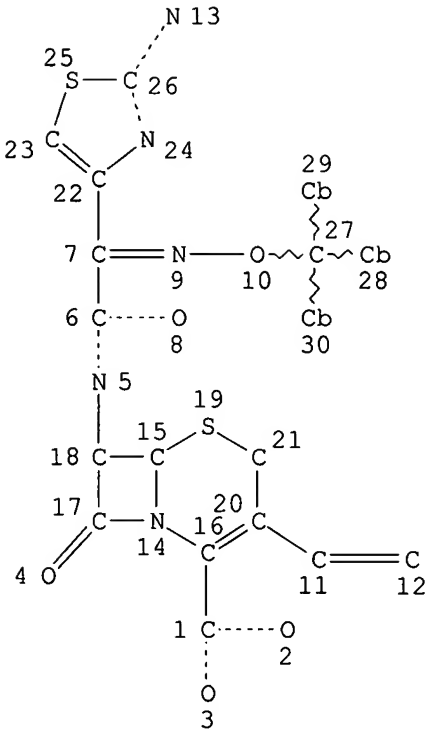

NODE ATTRIBUTES:
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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STEREO ATTRIBUTES: NONE
L17 21 SEA FILE=REGISTRY SSS FUL L15
L18 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L17

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L18 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:54564 HCAPLUS
DOCUMENT NUMBER: 144:128794
TITLE: News salts in the preparation of cephalosporin antibiotics
INVENTOR(S): Senthilkumar, Udayampalayam Palanisamy; Lakshmipathi, Venu Sanjeevi; Andrew, Gnanaprakasam; Chandrasekaran, Ramasubbu; Nagender Rao, Dindigala; Om Reddy, Gaddam
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Limited, India
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006006040	A2	20060119	WO 2005-IB1888	20050704

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2004-CH637 A 20040705

OTHER SOURCE(S): MARPAT 144:128794

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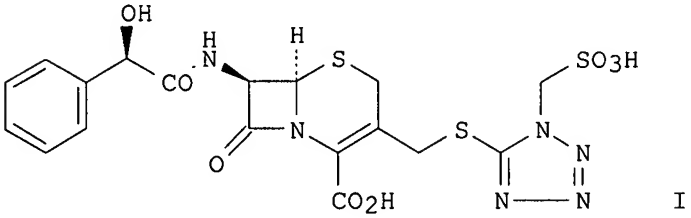

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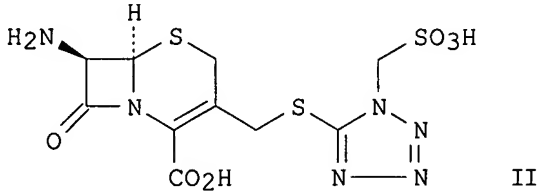


II

AB The present invention relates to an improved process for the preparation of cephalosporin antibiotics via the formation of intermediate diamine salts of the general form Cp.nM [Cp = cephalosporin antibiotic, such as Cefdinir, Cefoxitin, Cefonicid, etc.; M = ethylenediamine derivative, such as N,N'-diisobutyl-, N,N'-dicyclohexyl-, N,N'-diisopentyl-, N,N'-di(p-anisyl)-, N,N'-dicyclopentyl-, N,N'-di(p-tolyl)-1,2-ethanediamine; n = 0.5 - 2]. Thus, the N,N'-diisobutyl-1,2-ethanediamine salt of Cefonicid (I) was prepd via a reaction of 7β-aminocephem II with O-formyl-D-mandeloyl chloride, adjustment of the reaction mixture to pH 5±1, and finally, addition of the diacetate salt of Me2CHCH2NH(CH2)2NHCH2CHMe2.

IT **696592-17-3 717098-27-6**

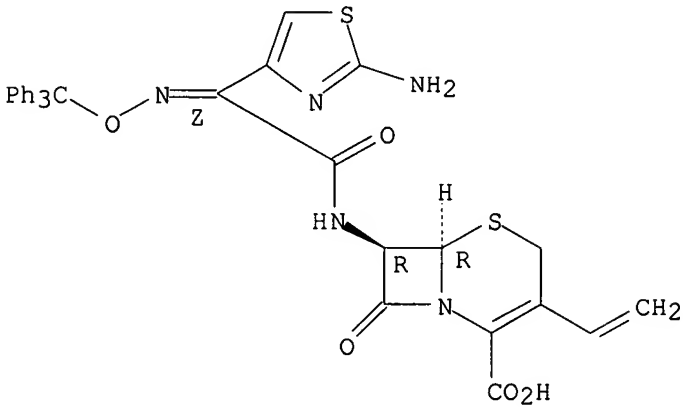
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of intermediate salts for the preparation of cephalosporin antibiotics, such as Cefdinir)

RN 696592-17-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-

ethenyl-8-oxo-, monopotassium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● K

RN 717098-27-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

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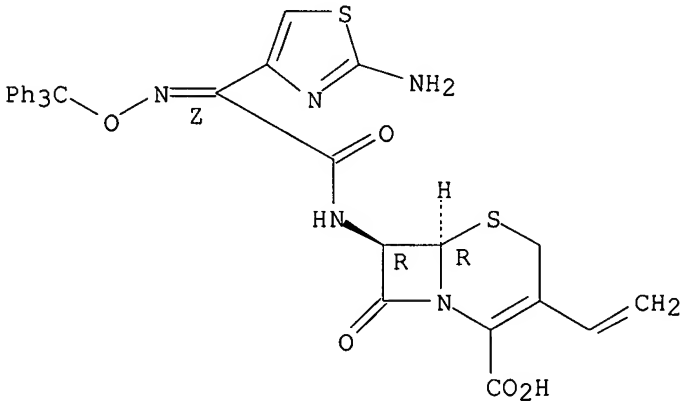
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



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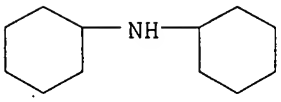
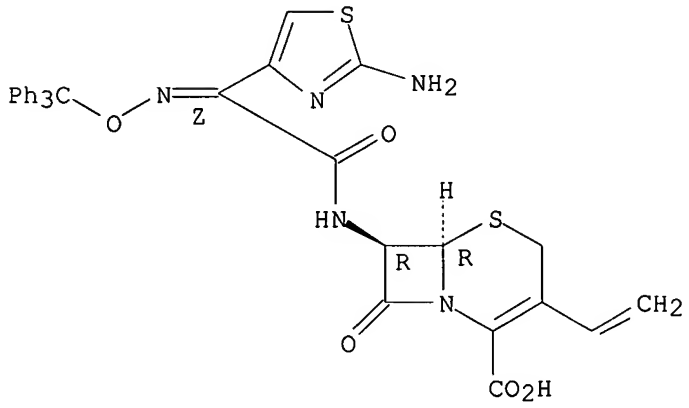


IT **873441-06-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of intermediate salts for the preparation of cephalosporin antibiotics, such as Cefdinir)
RN 873441-06-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N,N'-dicyclohexyl-1,2-ethanediamine (9CI) (CA INDEX NAME)

CM 1

CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



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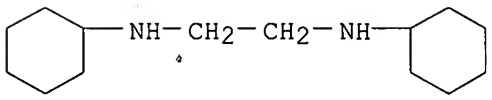

L18 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:546513 HCAPLUS
DOCUMENT NUMBER: 141:88964
TITLE: Process for preparing crystalline cefdinir salts
INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
PATENT ASSIGNEE(S): Antibioticos S.p.A., Italy
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056835	A1	20040708	WO 2003-EP13524	20031201
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 2006074236	A1	20060406	US 2005-539122	20050616
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			WO 2003-EP13524 W	20031201

OTHER SOURCE(S): MARPAT 141:88964
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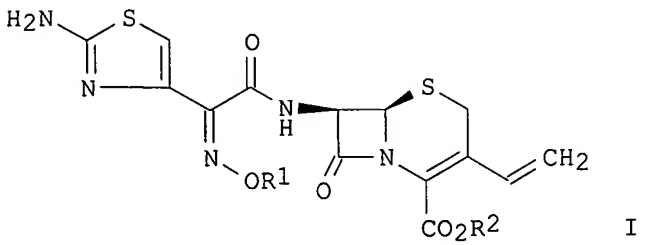
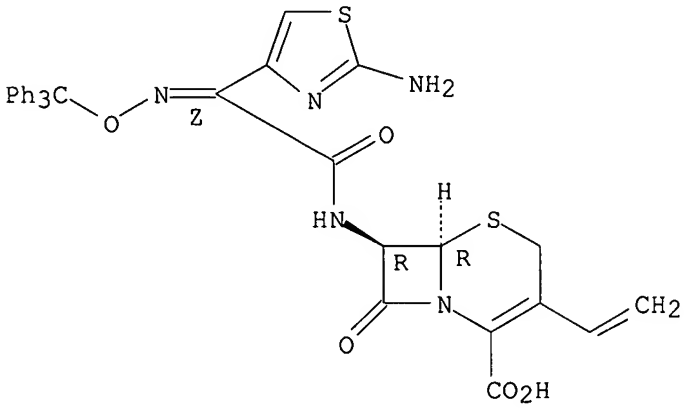


I

AB Cefdinir salts, such as I.nH3PO4 [R1, R2 = H; n = 1 - 3 (II)], the hydrates and solvates thereof, were prepared from cefdinir intermediates, I (R1 = benzhydryl, trityl, p-methoxybenzyl; R2 = benzhydryl, tert-Bu, p-methoxybenzyl), or crude cefdinir I (R1, R2 = H) by the treatment with phosphoric acid. Thus, I (R1 = CPh3, R2 = H) was dissolved in 85% phosphoric acid and acetonitrile, and reaction mixture was heated at 45°C for 2 h, to afford cefdinir phosphate. The use of II for the preparation and purification of cefdinir is also disclosed.

IT **128454-32-0 717098-27-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and use of cefdinir phosphates for preparing and purification of
cefdinir)
RN 128454-32-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

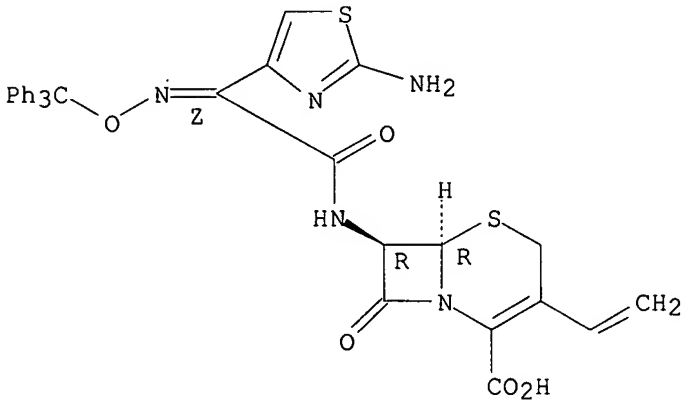


RN 717098-27-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

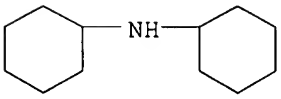
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 101-83-7
CMF C12 H23 N

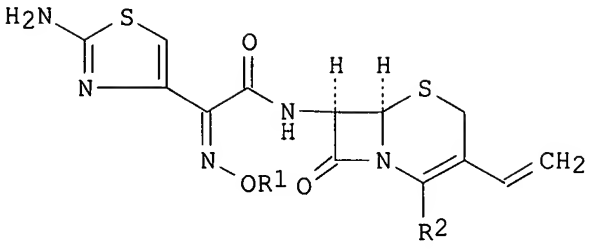


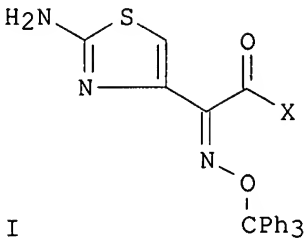
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:453223 HCAPLUS
DOCUMENT NUMBER: 141:6966
TITLE: Process for preparing cefdinir and its amorphous hydrate
INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausaheb Pandharinath; Ramasubbu, Chandrasekaran
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004046154	A1	20040603	WO 2003-IB5032		20031110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003276525	A1	20040615	AU 2003-276525		20031110
US 2006094703	A1	20060504	US 2005-532753		20050513
PRIORITY APPLN. INFO.:			IN 2002-MA848	A	20021115
			IN 2003-MA152	A	20030226
			WO 2003-IB5032	W	20031110

OTHER SOURCE(S): CASREACT 141:6966; MARPAT 141:6966
GI





I III

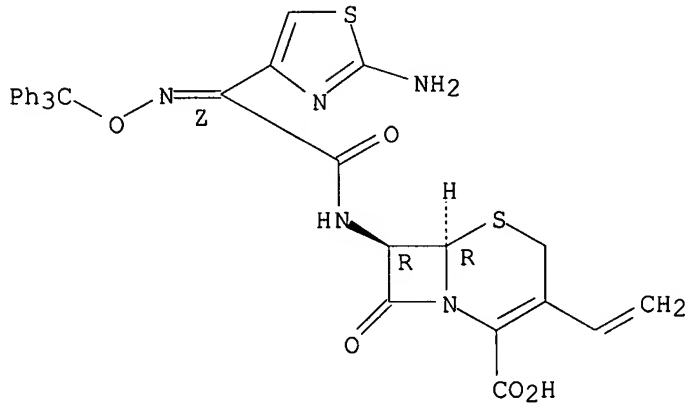
AB The present invention discloses a process for preparing cefdinir [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

IT **696592-17-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cefdinir and its amorphous hydrate)

RN 696592-17-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monopotassium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



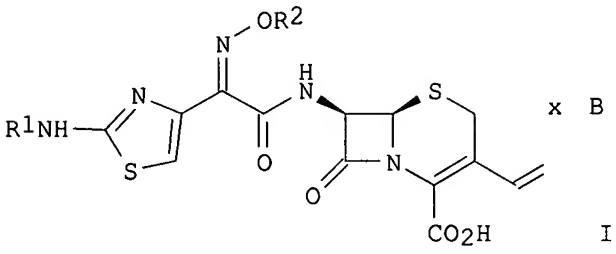
● K

L18 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:355098 HCAPLUS

DOCUMENT NUMBER: 140:375021
TITLE: Intermediate cefdinir salts
INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
PATENT ASSIGNEE(S): Antibioticos S.P.A., Italy
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

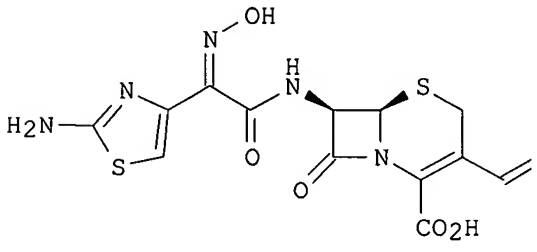
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035800	A2	20040429	WO 2003-EP10718	20030926
WO 2004035800	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500791	AA	20040429	CA 2003-2500791	20030926
AU 2003293585	A1	20040504	AU 2003-293585	20030926
EP 1546155	A2	20050629	EP 2003-788921	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501305	T2	20060112	JP 2004-544046	20030926
US 2006111566	A1	20060525	US 2005-529649	20051011
PRIORITY APPLN. INFO.:			IT 2002-MI2076 A	20021001
			WO 2003-EP10718 W	20030926

OTHER SOURCE(S): MARPAT 140:375021
GI



x B

I



II

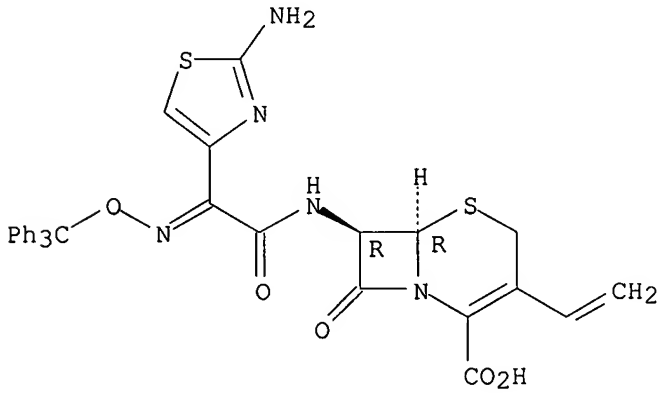
AB Disclosed are salts of the general formula (I) wherein R1 is H or an amino-protecting group, R2 is and OH-protecting group, and B is NH3 or an organic base, and a process for the preparation thereof. These salts are useful intermediates for the preparation of cefdinir (II).

IT **682357-22-8P 682357-23-9P 683226-97-3P**
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(intermediate cefdinir salts)

RN 682357-22-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



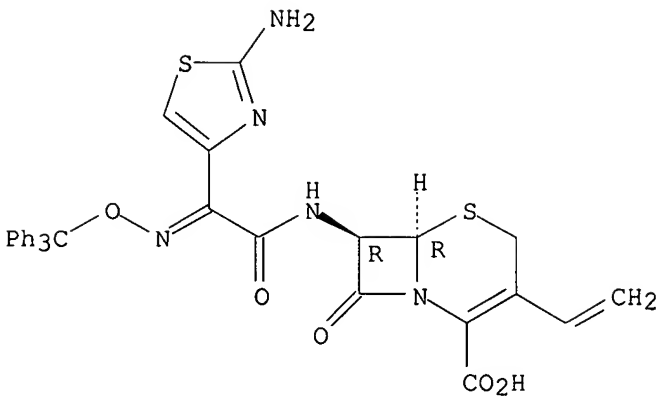
RN 682357-23-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

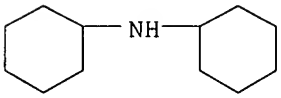
CRN 682357-22-8
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 101-83-7
CMF C12 H23 N

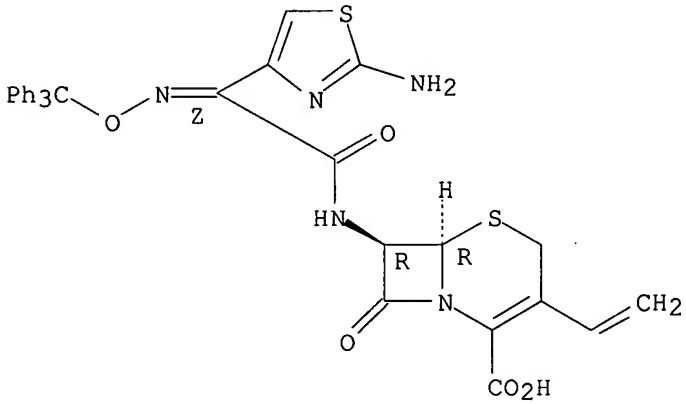


RN 683226-97-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with (αR)-α-methylbenzenemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 128454-32-0
CMF C33 H27 N5 O5 S2

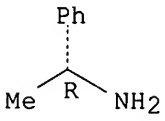
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 3886-69-9
CMF C8 H11 N

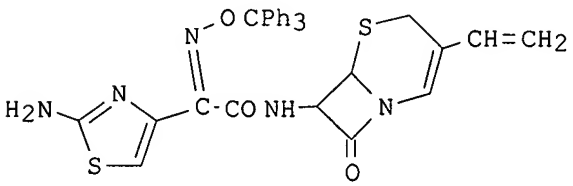
Absolute stereochemistry. Rotation (+).



L18 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:767504 HCAPLUS
DOCUMENT NUMBER: 135:303724
TITLE: Preparation of 3-vinylcephem compound from protected compounds
INVENTOR(S): Kameyama, Yutaka; Fukae, Kazuhiro
PATENT ASSIGNEE(S): Ohtsuka Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294590	A2	20011023	JP 2000-111448	20000413
WO 2001079211	A1	20011025	WO 2001-JP3182	20010413
W: CN, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1273587	A1	20030108	EP 2001-919924	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1134445	B	20040114	CN 2001-800920	20010413
HK 1048112	A1	20041126	HK 2003-100146	20030107

PRIORITY APPLN. INFO.: JP 2000-111448 A 20000413
WO 2001-JP3182 W 20010413
OTHER SOURCE(S): CASREACT 135:303724; MARPAT 135:303724
GI



I

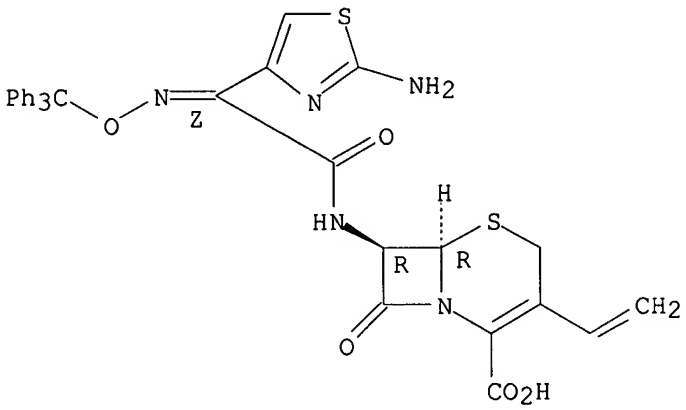
AB Cefdinir is prepared by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with

perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO4 and HCO2H at 30° for 1 h in CH2Cl2 to give 95% cefdinir.

IT **128454-32-0 193402-46-9 367267-69-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-vinylcephem compound from protected compds.)

RN 128454-32-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

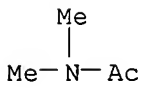
Absolute stereochemistry.
Double bond geometry as shown.



RN 193402-46-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(4-methylbenzenesulfonate), compd. with N,N-dimethylacetamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 127-19-5
CMF C4 H9 N O



CM 2

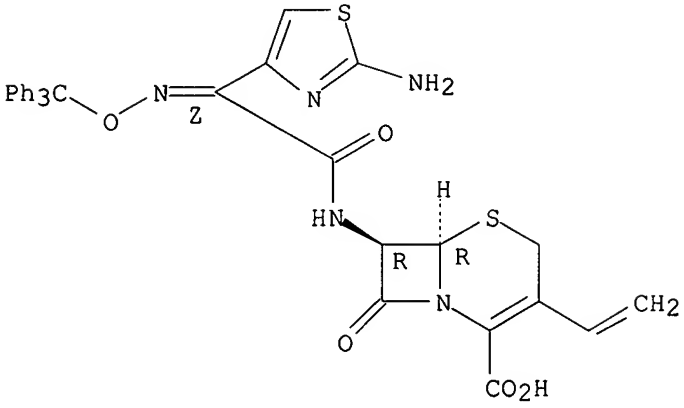
CRN 193402-45-8
CMF C33 H27 N5 O5 S2 . C7 H8 O3 S

CM 3

CRN 128454-32-0
CMF C33 H27 N5 O5 S2

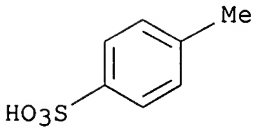
Absolute stereochemistry.

Double bond geometry as shown.



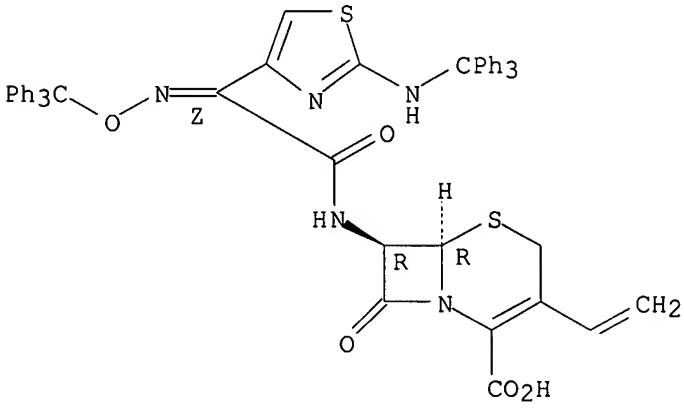
CM 4

CRN 104-15-4
CMF C7 H8 O3 S



RN 367267-69-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-ethenyl-8-oxo-7-[[(2Z)-[(triphenylmethoxy)imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L18 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

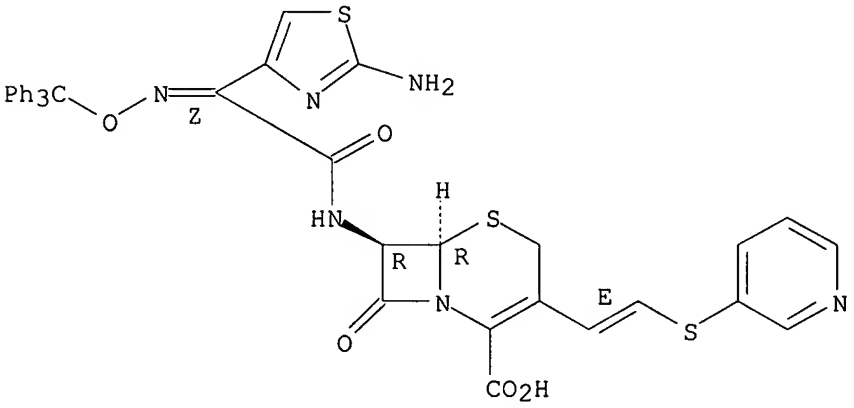
ACCESSION NUMBER: 2000:395944 HCAPLUS
DOCUMENT NUMBER: 133:171782
TITLE: Orally active cephalosporins. Part 2: Synthesis, structure-activity relationships and oral absorption of cephalosporins having a C-3 pyridyl side chain
AUTHOR(S): Yamamoto, H.; Terasawa, T.; Nakamura, A.; Kawabata, K.; Sakane, K.; Matsumoto, S.; Matsumoto, Y.; Tawara, S.
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co., Ltd, Osaka, 532-8514, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(5), 1159-1170
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 7β-[(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]cephalosporins having a pyridine ring connected through various spacer moieties at the C-3 position was designed and synthesized and evaluated for antibacterial activity and oral absorption in rats. All compds. showed potent antibacterial activity against Staphylococcus aureus, whereas antibacterial activity against Gram-neg. bacteria was markedly influenced by the spacer moiety between the pyridine and cephem nucleus. Oral absorption was influenced by the position of the pyridine nitrogen as well as by the spacer moiety. Among these compds., FR86830, having a 4-pyridylmethylthio moiety at the C-3 position, showed the most well balanced activity and moderate oral absorption.

IT **288379-65-7P 288380-03-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-antibacterial activity relationships and oral absorption of cephalosporins having a C-3 pyridyl side chain)

RN 288379-65-7 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[(1E)-2-(3-pyridinylthio)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

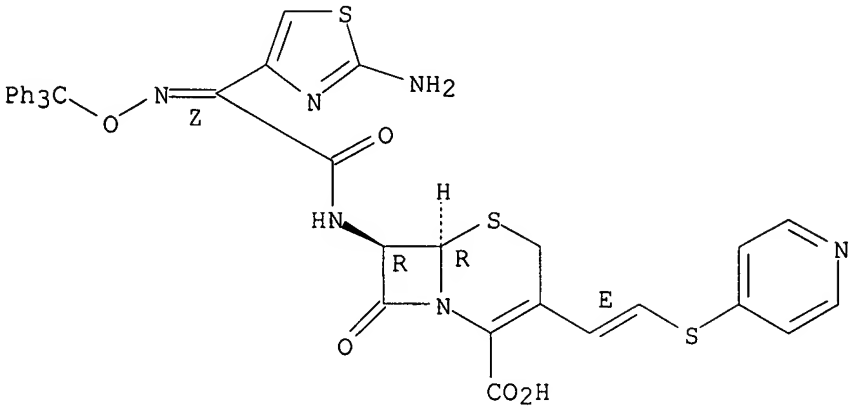
Absolute stereochemistry.
Double bond geometry as shown.



RN 288380-03-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-

3-[(1E)-2-(4-pyridinylthio)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

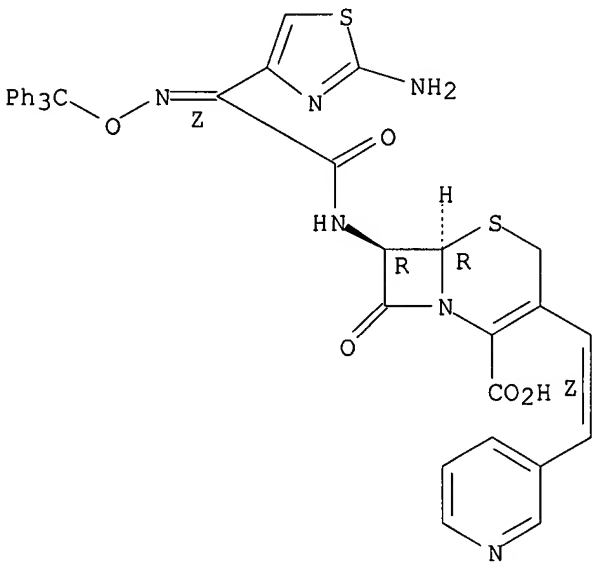


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:125852 HCAPLUS
DOCUMENT NUMBER: 132:236906
TITLE: Orally active cephalosporins: synthesis, structure-activity relationships and oral absorption of 3-[(E) and (Z)-2-substituted vinyl]-cephalosporins
AUTHOR(S): Yamamoto, Hirofumi; Terasawa, Takeshi; Ohki, Ayako; Shirai, Fumiyuki; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Matsumoto, Yoshimi; Tawara, Shuichi
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co. Ltd., Osaka, 532-8514, India
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 43-54
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 7β-[(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[(E)- and (Z)-2-substituted vinyl]-3-cephem-4-carboxylic acids was designed and synthesized using palladium-catalyzed coupling reactions of a 3-methanesulfonyloxy-3-cephem and an E substituted vinyl stannane or Wittig reaction of a 3-triphenylphosphoniummethyl cephem and an aldehyde as a key step. These compds. were evaluated for in vitro antibacterial activity and oral absorption in rats. A number of them exhibited excellent antibacterial activity against both Gram-pos. and Gram-neg. bacteria including Haemophilus influenzae. Among them, FR86524, having a (Z)-2-(3-pyridyl)vinyl moiety at the C-3 position, had the most well balanced activity. Although FR86524 exhibited low oral absorption, the pivaloyloxymethyl ester of FR86524 showed improved oral absorption.
IT **159296-68-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, structure-activity relationships and oral absorption of 3-[(E) and (Z)-2-substituted vinyl]-cephalosporins)
RN 159296-68-1 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[(1Z)-2-(3-pyridinyl)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

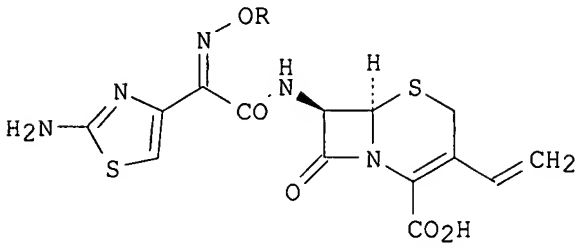
L18 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:547291 HCAPLUS
DOCUMENT NUMBER: 127:149040
TITLE: Process for preparation of cefdinir
INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724358	A1	19970710	WO 1996-KR250	19961226
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 174432	B1	19990218	KR 1995-58694	19951227
KR 174431	B1	19990218	KR 1995-58695	19951227
EP 874853	A1	19981104	EP 1996-943357	19961226
EP 874853	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000502700	T2	20000307	JP 1997-524230	19961226
AT 218572	E	20020615	AT 1996-943357	19961226

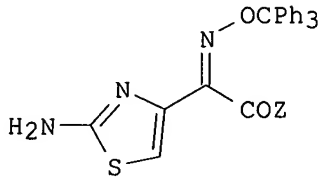
PT 874853	T	20020930	PT 1996-943357		19961226
ES 2175167	T3	20021116	ES 1996-943357		19961226
US 6093814	A	20000725	US 1998-68719		19980518
PRIORITY APPLN. INFO.:			KR 1995-58694	A	19951227
			KR 1995-58695	A	19951227
			WO 1996-KR250	W	19961226

OTHER SOURCE(S): CASREACT 127:149040; MARPAT 127:149040

GI



I



II

AB Cefdinir I (R = H), a cephalosporin antibiotic, was prepared in an excellent color and purity and with a good yield. Cefdinir was prepared by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II (Z = 2-benzothiazolylthio) and crystallization of the resulting ester with 4-MeC6H4SO3H and Me2NCOMe to form crystals of I (R = CPh3).4-MeC6H4SO3H.2Me2NCOMe, which were then converted to cefdinir with the use of formic acid. Formation of the cefdinir amide linkage was also accomplished starting from phosphoryl ester II [Z = OP(O)(OEt)2].

IT **193402-46-9P**

RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of cefdinir)

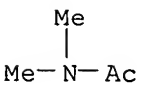
RN 193402-46-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(4-methylbenzenesulfonate), compd. with N,N-dimethylacetamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 127-19-5

CMF C4 H9 N O



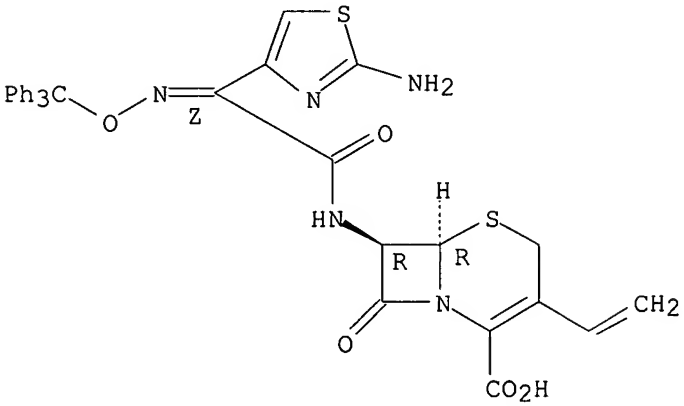
CM 2

CRN 193402-45-8
CMF C33 H27 N5 O5 S2 . C7 H8 O3 S

CM 3

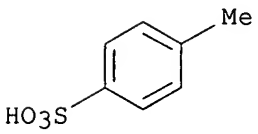
CRN 128454-32-0
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 4

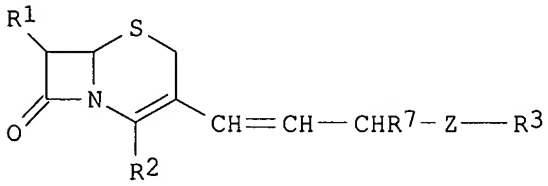
CRN 104-15-4
CMF C7 H8 O3 S



L18 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:573901 HCAPLUS
DOCUMENT NUMBER: 122:314356
TITLE: Preparation of cephem derivatives and their antibacterial activity
INVENTOR(S): Terasawa, Takeshi; Nakamura, Ayako; Kawabata, Koji; Sakane, Kazuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07048382	A2	19950221	JP 1993-191164	19930802
PRIORITY APPLN. INFO.:			JP 1993-191164	19930802

OTHER SOURCE(S): CASREACT 122:314356; MARPAT 122:314356
GI



I

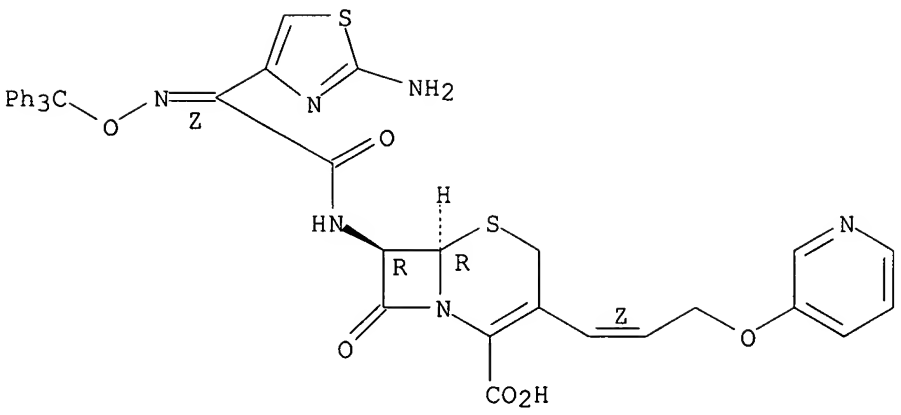
AB The title compds. [I; R = amino, acylamino; R2 = carboxy, protected carboxy; R3 = H, OH, aryl, heterocyclyl, acyl, acylalkyl; R7 = H, alkyl; Z = O, S, NH] are prepared Thus, 7β-(tert-butoxycarbonylamino)-3-(triphenylphosphoniomethyl)-3-cephem-4-carboxylic acid diphenylmethyl ester iodide in CH2Cl2-H2O containing NaCl and NaOH was stirred at room temperature for 1 h and the product was reacted with 2-phenoxyacetaldehyde at room temperature overnight to give the title compound 7β-(tert-butoxycarbonylamino)-3-[(Z)-3-phenoxy-1-propen-1-yl]-3-cephem-4-carboxylic acid diphenylmethyl ester. Syn-7β-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[(Z)-3-phenoxy-1-propen-1-yl]-3-cephem-4-carboxylic acid (also prepared) had an MIC of ≤0.025 μg/mL against Escherichia coli.

IT **163348-07-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cephem derivs. and antibacterial activity)

RN 163348-07-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[3-(3-pyridinyloxy)-1-propenyl]-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

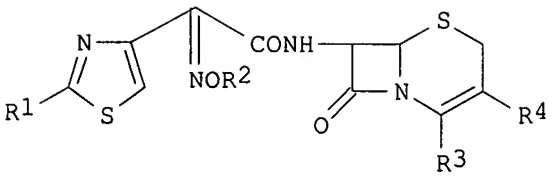


L18 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:16728 HCAPLUS
DOCUMENT NUMBER: 122:31197
TITLE: Heterocyclic cephem antibiotics
INVENTOR(S): Kawabata, Kohji; Terasawa, Takeshi; Nakamura, Ayako; Nakamura, Hideko; Shirai, Fumiyuki; Sakane, Kazuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410177	A1	19940511	WO 1993-JP1505	19931019
W: AU, CA, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9307650	A	19940504	ZA 1993-7650	19931014
CA 2147609	AA	19940511	CA 1993-2147609	19931019
AU 9351575	A1	19940524	AU 1993-51575	19931019
EP 665847	A1	19950809	EP 1993-922658	19931019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08502513	T2	19960319	JP 1994-510881	19931019
CN 1144222	A	19970305	CN 1993-120376	19931022

PRIORITY APPLN. INFO.:	GB 1992-22291	A	19921023
	GB 1993-14495	A	19930712
	JP 1993-67892	A	19930326
	JP 1993-72695	A	19930331
	WO 1993-JP1505	W	19931019

OTHER SOURCE(S): MARPAT 122:31197
GI



I

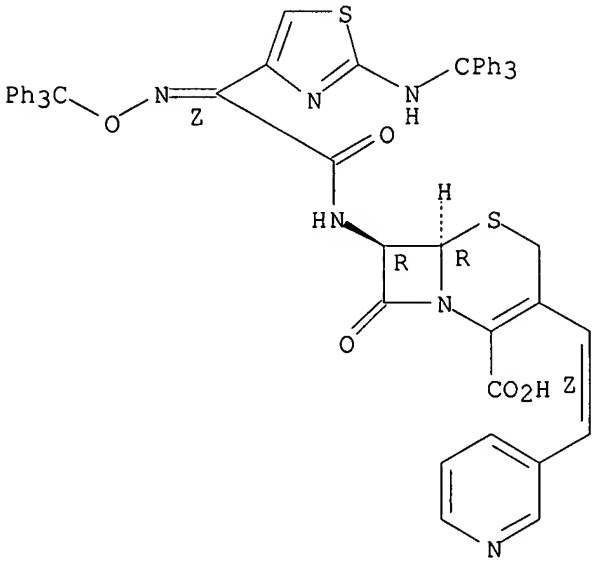
AB The title compds. [I; R1 = (un)protected amino; R2 = H, OH-protective group, lower alkyl, etc.; R3 = CO2H, protected CO2H; R4 = (un)substituted pyridiylvinyl], which exhibit high antibiotic activity against a number of pathogenic microorganisms, are prepared Thus, 7β-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[(E)-2-(pyridin-4-yl)vinyl]-3-cephem-4-carboxylic acid (syn isomer) demonstrated min. inhibitory concentration against E. coli (31) of ≤0.025 μg/mL.

IT **159295-56-4P 159295-58-6P 159295-59-7P**
159295-60-0P 159296-68-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibiotic activity of)

RN 159295-56-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 8-oxo-3-[2-(3-pyridinyl)ethenyl]-7-[[[(triphenylmethoxy)imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

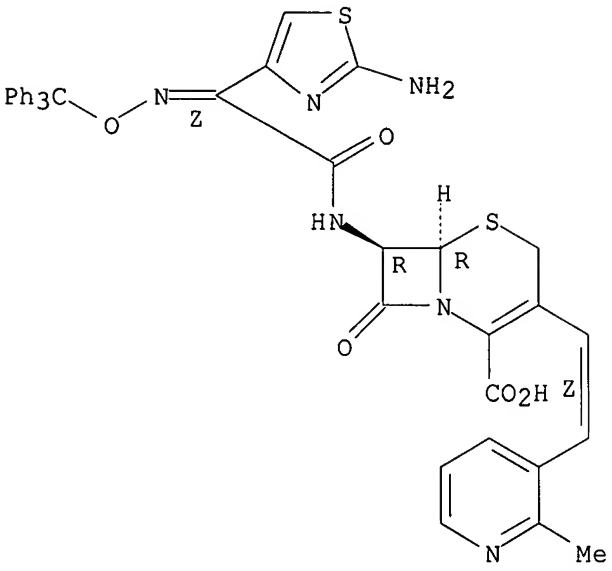
Absolute stereochemistry.
Double bond geometry as shown.



RN 159295-58-6 HCAPLUS

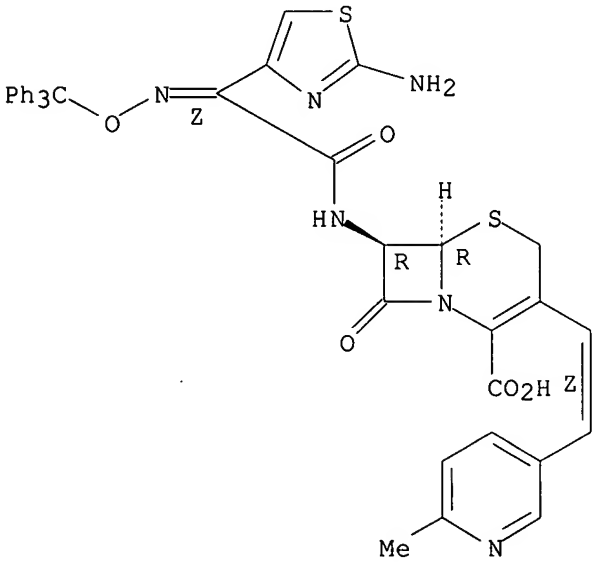
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-[2-(2-methyl-3-pyridinyl)ethenyl]-8-oxo-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 159295-59-7 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-[2-(6-methyl-3-pyridinyl)ethenyl]-8-oxo-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

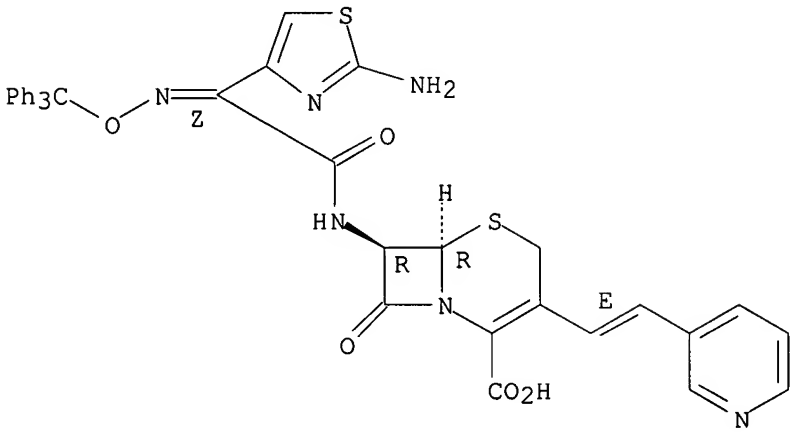
Absolute stereochemistry.
Double bond geometry as shown.



RN 159295-60-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[2-

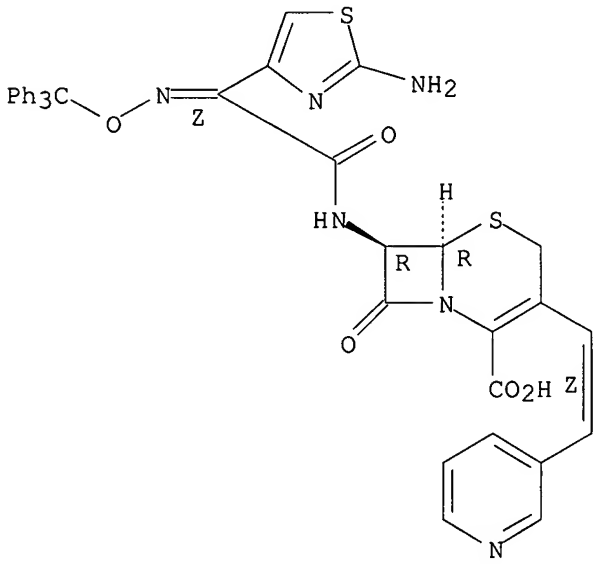
(3-pyridinyl)ethenyl]-, [6R-[3(E),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 159296-68-1 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[(1Z)-2-(3-pyridinyl)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

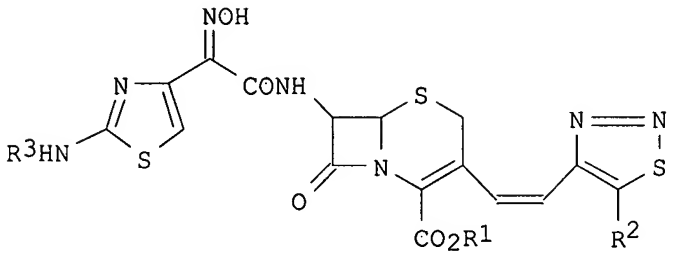


L18 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:630580 HCAPLUS
DOCUMENT NUMBER: 121:230580
TITLE: Preparation of cephalosporin derivatives as bactericides for oral administration

INVENTOR(S): Kobori, Takeo; Shinagawa, Rumi; Fujita, Mikako; Hyama, Tamejiro; Nagate, Takatoshi
PATENT ASSIGNEE(S): Sagami Chem Res, Japan; Taisho Pharma Co Ltd
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06073064	A2	19940315	JP 1992-231876	19920831

PRIORITY APPLN. INFO.: JP 1992-231876 19920831
OTHER SOURCE(S): MARPAT 121:230580
GI



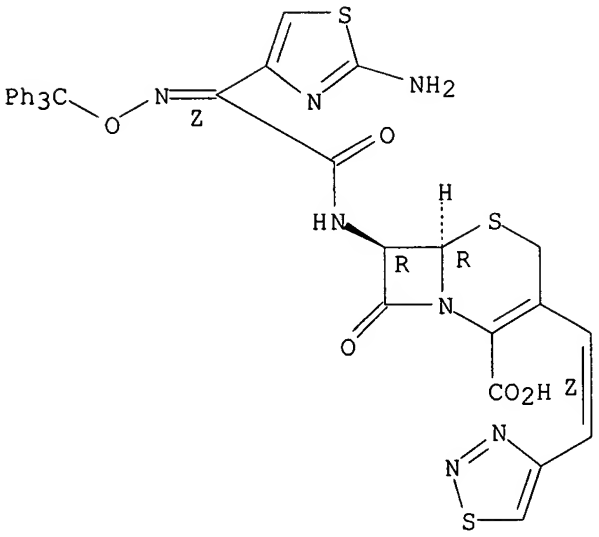
I

AB The title compds. [I; R1 = ester-forming group which can be easily cleaved by esterase ; R2 = H, alkyl ; R3 = H, alanine residue] are prepared [(Z)(Z)]-I [R1 = 1-(cyclohexyloxycarbonyloxy)ethyl; R2 = R3 = H] (preparation given) at 20 mg/Kg orally gave maximum blood concentration of 32.1 μg/mL in mice, vs. 2.4 μg/mL for [(Z)(Z)]-I (R1 = R2 = R3 = H).

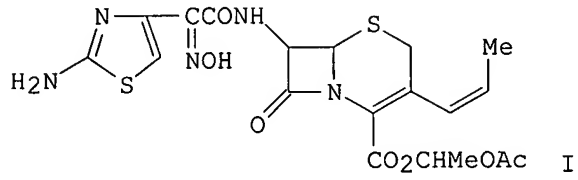
IT **158295-50-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of bactericide)

RN 158295-50-2 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[2-(1,2,3-thiadiazol-4-yl)ethenyl]-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L18 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:101442 HCAPLUS
DOCUMENT NUMBER: 114:101442
TITLE: Improved synthesis of an ester-type prodrug, 1-acetoxyethyl 7-[(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[(Z)-1-propenyl]-3-cephem-4-carboxylate (BMY-28271)
AUTHOR(S): Kamachi, Hajime; Okita, Takaaki; Okuyama, Satsuki; Hoshi, Hideaki; Naito, Takayuki
CORPORATE SOURCE: Bristol-Myers Squibb Res. Inst., Tokyo, 153, Japan
SOURCE: Journal of Antibiotics (1990), 43(12), 1564-72
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:101442
GI



I

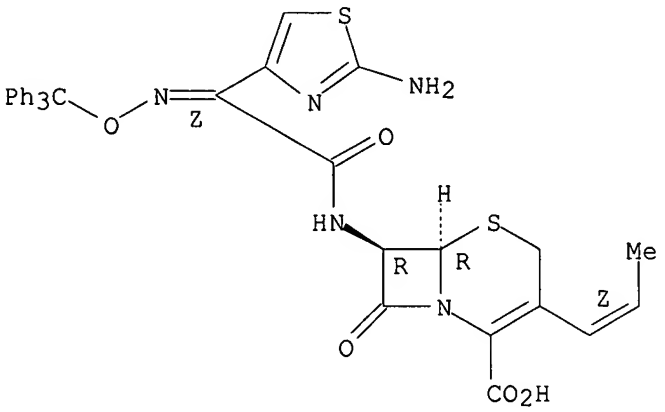
AB The yield of the title compound (I) was improved by esterification of 7-[(Z)-2-(2-aminothiazol-4-yl)-2-trityloxyiminoacetamido]cephem-4-carboxylic acid followed by removal of the trityl group. In addition, column chromatog. purification at each step was eliminated by optimization of the reaction conditions.

IT **128438-06-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 128438-06-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

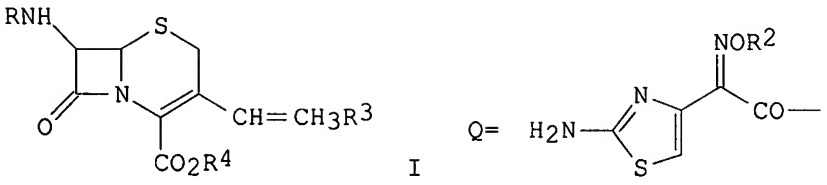
Absolute stereochemistry.
Double bond geometry as shown.



L18 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:531866 HCAPLUS
DOCUMENT NUMBER: 113:131866
TITLE: Preparation of 7-[2-aminothiazolyl-2-(hydroximino)acetamido]cephemcarboxylate prodrug esters
INVENTOR(S): Kamachi, Hajime; Okita, Takaaki; Okuyama, Satsuki; Naito, Takayuki
PATENT ASSIGNEE(S): Bristol-Myers Co., USA
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 355821	A2	19900228	EP 1989-115590	19890823
EP 355821	A3	19911023		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4935508	A	19900619	US 1988-235133	19880823
JP 02073090	A2	19900313	JP 1989-215140	19890823
JP 07091304	B4	19951004		
JP 07179445	A2	19950718	JP 1994-290292	19941019
PRIORITY APPLN. INFO.:			US 1988-235133 A	19880823

OTHER SOURCE(S): CASREACT 113:131866; MARPAT 113:131866
GI

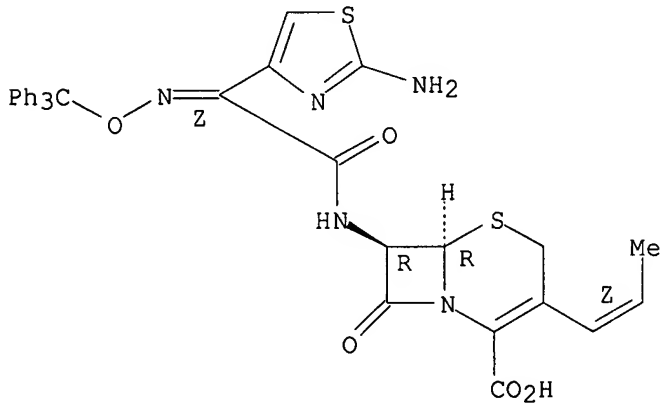


AB The title compds. (I; R = aminothiazolyloximinoacetyl group Q; R2 = H; R3 = H, Me; R4 = metabolically labile ester group) were prepared as prodrugs (no data) by esterification of I (R = Q, R2 = O-protective group, R4 = H) followed by deprotection. Thus, QOR1 (R1 = benzotriazol-1-yl, R2 = CPh3) (preparation given) was added to a solution of (Z)-I (R = R4 = H, R3 = Me) in THF which had stirred with Me3SiCl and Et3N and the whole stirred overnight to give (Z)-I (R = Q, R2= CPh3, R3 = Me, R4 = H) which was stirred 65 min at .apprx.5° with BrCHMeOAc in DMF containing K2CO3 to give, after deprotection, (Z)-I (R = Q, R2 = H, R3 = Me, R4 = CHMeOAc) (51% yield for the deprotection step).

IT **128438-06-2P 128438-07-3P 128454-32-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antibiotic prodrugs)

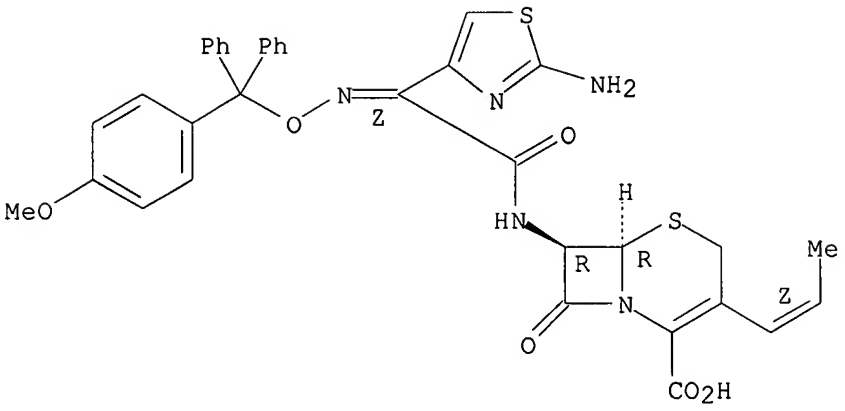
RN 128438-06-2 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



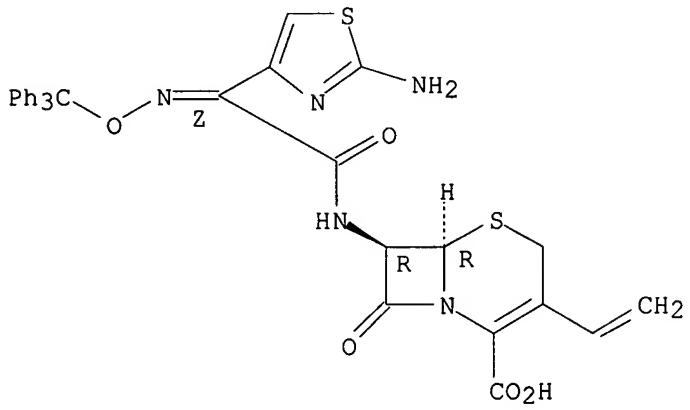
RN 128438-07-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[(4-methoxyphenyl)diphenylmethoxy]imino]acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 128454-32-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



=> fil beilstein
FILE 'BEILSTEIN' ENTERED AT 12:30:34 ON 27 JUN 2006
COPYRIGHT (c) 2006 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.
*** FILE CONTAINS 9,606,495 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally

with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

```
**********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE   *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
* FOR PRICE INFORMATION SEE HELP COST                                *
**********************************************************************
```

NEW

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**

*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

```
=> d que 127
L15             STR
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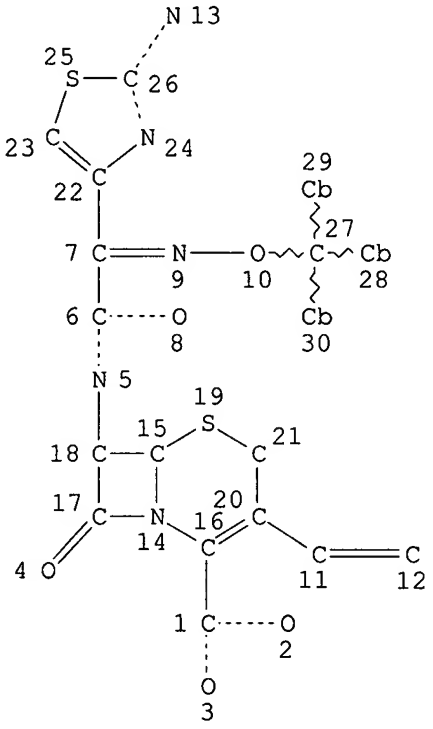


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  30
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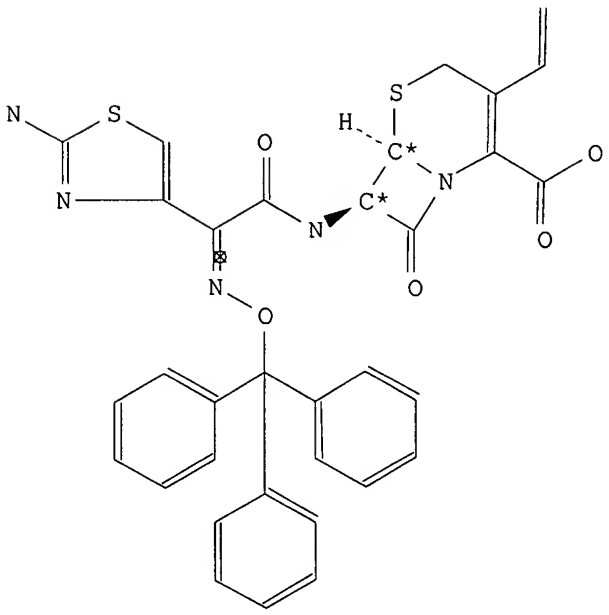
STEREO ATTRIBUTES: NONE
L17 21 SEA FILE=REGISTRY SSS FUL L15
L26 4 SEA FILE=BEILSTEIN SSS FUL L15
L27 4 SEA FILE=BEILSTEIN ABB=ON PLU=ON L26 NOT L17

=> d l27 ide allref 1-4

L27 ANSWER 1 OF 4 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9459835
Chemical Name (CN):	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylamino>-8-oxo-3-vinyl-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Autonom Name (AUN):	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylamino>-8-oxo-3-vinyl-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Molec. Formula (MF):	C33 H27 N5 O5 S2
Molecular Weight (MW):	637.73
Lawson Number (LN):	31717, 31714, 5652
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7981906
Tautomer ID (TAUTID):	8877659
Entry Date (DED):	2003/10/23
Update Date (DUPD):	2003/10/23



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

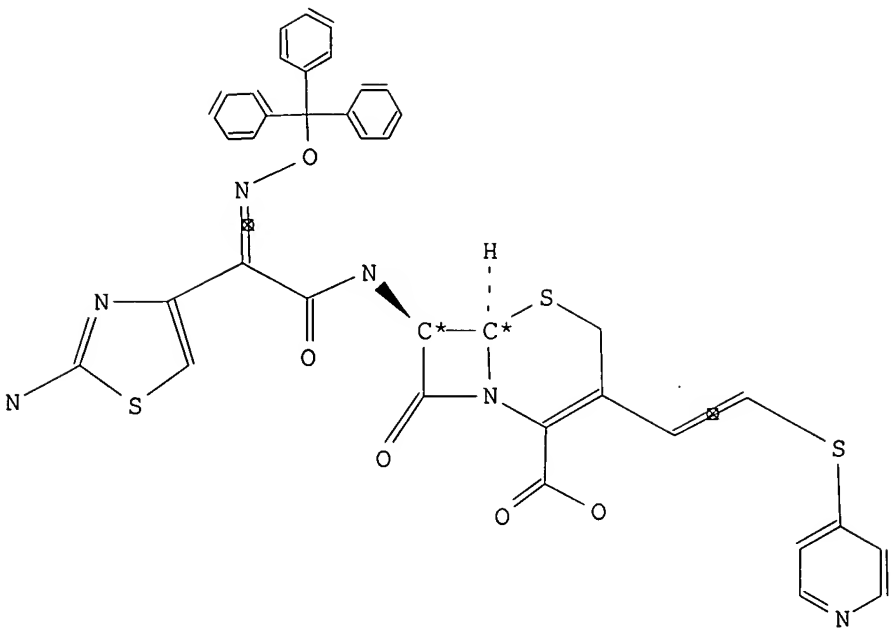
Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Gonzalez, Maritza; Rodriguez, Zalua; Tolon, Blanca; Rodriguez, Juan C.; Velez, Herman; Valdes, Barbara; Lopez, Miguel A.; Fini, Adamo, Farmaco, CODEN: FRMCE8, 58(6), <2003>, 409 - 418; BABS-6404670

L27 ANSWER 2 OF 4 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8667899
Chemical Name (CN):	7β-<2-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino)acetamido>-3-<(E)-2-(4-pyridyl)thiovinyl>-3-cephem-4-carboxylic acid
Autonom Name (AUN):	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylamino>-8-oxo-3-<2-(pyridin-4-ylsulfanyl)-vinyl>-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Molec. Formula (MF):	C38 H30 N6 O5 S3
Molecular Weight (MW):	746.87
Lawson Number (LN):	31717, 24773, 5652
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7342312
Tautomer ID (TAUTID):	8157313
Entry Date (DED):	2001/01/30
Update Date (DUPD):	2001/01/30



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
NMR	Nuclear Magnetic Resonance	2

This substance also occurs in Reaction Documents:

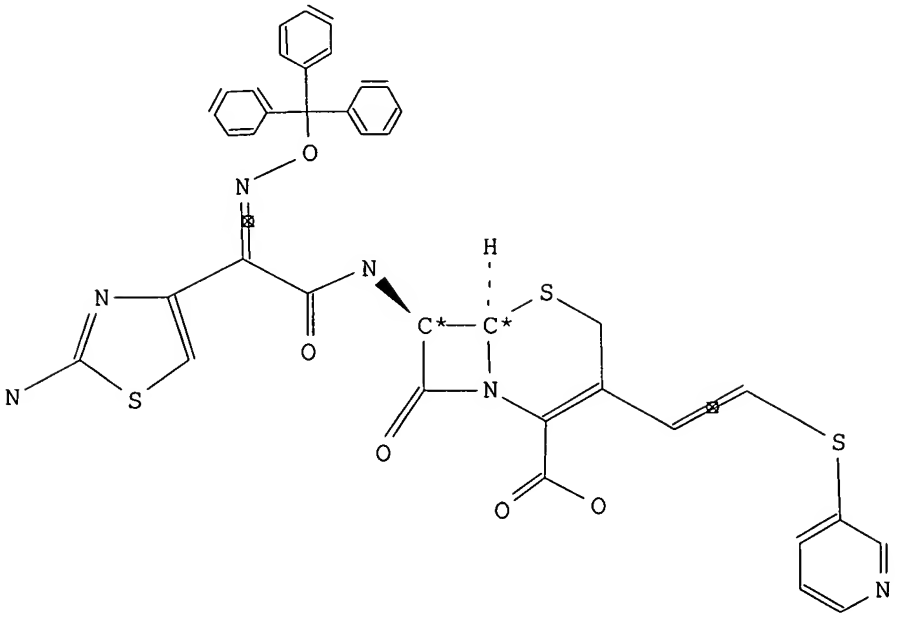
Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Yamamoto, Hirofumi; Terasawa, Takeshi; Nakamura, Ayako; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Tawara, Shuichi, Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 1159 - 1170; BABS-6248669

L27 ANSWER 3 OF 4 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8667893
Chemical Name (CN):	7β-<2-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino)acetamido>-3-<(E)-2-(3-pyridyl)thiovinyl>-3-cephem-4-carboxylic acid
Autonom Name (AUN):	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylamino>-8-oxo-3-<2-(pyridin-3-ylsulfanyl)-vinyl>-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Molec. Formula (MF):	C38 H30 N6 O5 S3
Molecular Weight (MW):	746.87
Lawson Number (LN):	31717, 24773, 5652
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7342303
Tautomer ID (TAUTID):	8157236
Entry Date (DED):	2001/01/30
Update Date (DUPD):	2001/01/30



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1

FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
NMR	Nuclear Magnetic Resonance	2

This substance also occurs in Reaction Documents:

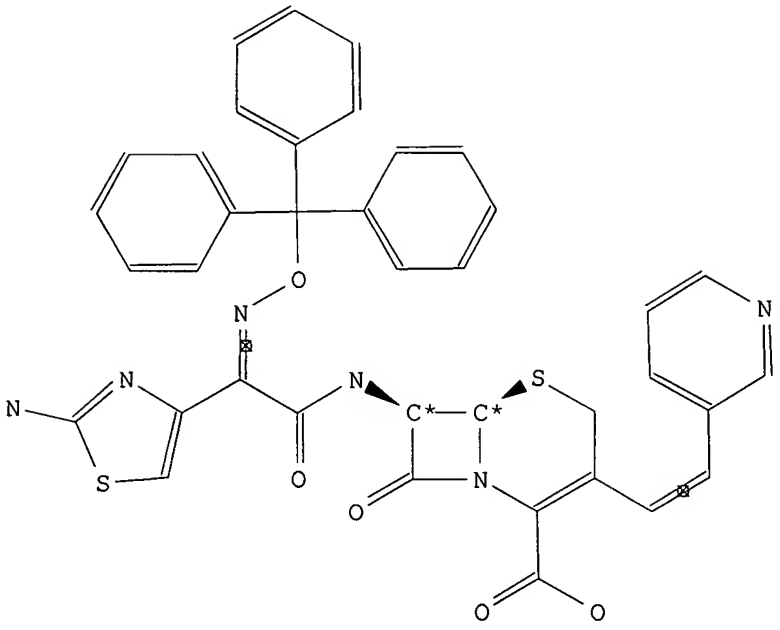
Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Yamamoto, Hirofumi; Terasawa, Takeshi; Nakamura, Ayako; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Tawara, Shuichi, Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 1159 - 1170; BABS-6248669

L27 ANSWER 4 OF 4 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8530567
Chemical Name (CN):	7β-<(Z)-2-(2-aminothiazol-4-yl)-2-trityloxyiminoacetamido>-3-<(Z)-2-(pyridin-3-yl)vinyl>-3-cephem-4-carboxylic acid
Autonom Name (AUN):	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylamino>-8-oxo-3-(2-pyridin-3-yl-vinyl)-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Molec. Formula (MF):	C38 H30 N6 O5 S2
Molecular Weight (MW):	714.81
Lawson Number (LN):	32264, 31717, 5652
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7231077
Tautomer ID (TAUTID):	8032716
Entry Date (DED):	2000/07/18
Update Date (DUPD):	2000/07/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
NMR	Nuclear Magnetic Resonance	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Yamamoto, Hirofumi; Terasawa, Takeshi; Ohki, Ayako; Shirai, Fumiyuki; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Matsumoto, Yoshimi; Tawara, Shuichi, Bioorg.Med.Chem., CODEN: BMECEP, 8(1), <2000>, 43 - 54; BABS-6224640